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Claims

1. A process for the preparation of furopyrroles of the general formula

$$A^3$$
 N O (1), comprising

5 (a) heating a compound of the formula

$$A^{3}$$
 OH (II) under microwave irradiation optionally in the presence of an A^{2}

inert solvent,

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wherein A^1 and A^2 are C_1 - C_{18} alkyl, C_2 - C_{18} alkenyl, C_2 - C_{18} alkynyl, C_5 - C_8 cycloalkyl, C_5 - C_8 cycloalkenyl, aryl or heteroaryl,

A³ is hydrogen, C₁-C₁₈alkyl, cyanomethyl, Ar³, -CR³⁰R³¹-(CH₂)_m-Ar³ or Y-R³², wherein R³⁰ and R³¹ independently of each other stand for hydrogen or C₁-C₄alkyl, or phenyl which can be substituted up to three times with C₁-C₄alkyl,

Ar³ stands for aryl, C₅-C₈cycloalkyl, C₅-C₈cycloalkenyl or heteroaryl, which can be substituted one to three times with C₁-C₈alkyl, C₁-C₈alkoxy, halogen or phenyl, which can be substituted with C₁-C₈alkyl or C₁-C₈alkoxy one to three times, and m stands for 0, 1, 2, 3 or 4,

R is C_1 - C_{18} alkyl, in particular C_1 - C_4 alkyl, aryl, in particular phenyl, or aralkyl, in particular benzyl, which can be substituted one to three times with C_1 - C_8 alkyl, C_1 - C_8 alkoxy, or halogen,

20 Y is -C(O)-, -C(O)O-, -C(O)NH-, $-SO_2NH$ - or $-SO_2$ - and R^{32} is C_1 - C_{18} alkyl, Ar^3 , or aralkyl.

2. The process according to claim 1, comprising in addition

reacting a compound of formula I with a primary amine of the formula A⁴-NH₂ (IV),

wherein a DPP of formula
$$A^3 - N - A^4$$
 formula III is obtained.

wherein A⁴ is C₁-C₁₈alkyl or Ar³, wherein Ar³, A¹, A² and A³ are defined as in claim 1.

The process according to claim 1, wherein the compound of the formula I, wherein A³ is different from a hydrogen atom, is obtained by reacting a compound of the formula

 A^3 have the meanings as given in claim 1 and X is a leaving group.

The process according to any of claims 1 to 3, wherein A¹ and A² are radicals of the formula

$$R^1$$
, R^2 , R^3 , wherein

R¹ and R² are independently of each other hydrogen, halogen, C₁-C₁₈alkyl, C₁-C₁₈alkoxy, C₁-C₁₈alkylmercapto, C₁-C₁₈alkylamino, C₁-C₁₈alkoxycarbonyl, C₁-C₁₈alkylaminocarbonyl, -CN, -NO₂, trifluoromethyl, C₅-C₈cycloalkyl, -C=N-

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(C₁-C₁₈alkyl), phenyl,
$$-C=N$$
 \longrightarrow $-R^3$, imidazolyl, pyrrazolyl, triazolyl,

piperazinyl, pyrrolyl, oxazolyl, benzoxazolyl, benzothiazolyl, benzimidazolyl, morpholinyl, piperidinyl or pyrrolidinyl, $-\text{CONX}^5\text{X}^6$, $-\text{C(O)OX}^7$ or $-\text{SO}_2\text{X}^9$; wherein X^5 and X^6 are hydrogen, linear or branched $\text{C}_{1\text{--}10\text{--}}$ alkyl, $\text{C}_{5\text{--}10\text{--}}$ cycloalkyl or $\text{C}_{8\text{--}10\text{--}}$ aryl, X^7 is hydrogen, linear or branched $\text{C}_{1\text{--}10\text{--}}$ alkyl, $\text{C}_{5\text{--}10\text{--}}$ cycloalkyl or $\text{C}_{6\text{--}10\text{--}}$ aryl, X^9 is hydrogen, linear or branched $\text{C}_{1\text{--}10\text{--}}$ alkyl, $\text{C}_{5\text{--}10\text{--}}$ cycloalkyl, $\text{C}_{7\text{--}10\text{--}}$ aryl or $-\text{NX}^{10}\text{X}^{11}$, wherein X^{10} and X^{11} are hydrogen, linear or branched $\text{C}_{1\text{--}10\text{--}}$ alkyl, $\text{C}_{7\text{--}10\text{--}}$ aralkyl or $\text{C}_{6\text{--}10\text{--}}$ aryl,

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G is $-CH_{2^-}$, $-CH(CH_3)$ -, $-C(CH_3)_2$ -, -CH=N-, -N=N-, -O-, -S-, -SO-, $-SO_2$ -, $-SO_2NH$ -, -CONH- or $-NR^7$ -,

 R^3 and R^4 are independently of each other hydrogen, halogen, C_1 - C_6 alkyl, C_1 - C_{18} alkoxy or -CN, R^5 and R^6 are independently of each other hydrogen, halogen or C_1 - C_6 alkyl, and R^7 is hydrogen or C_1 - C_6 alkyl; or radicals of the formula

$$R^{25}$$
 R^{26}
 R^{26}
 R^{26}
 R^{26}
 R^{27}
 R^{21}
 R^{22}
 R^{23}
 R^{21}
 R^{22}
 R^{23}
 R^{21}
 R^{22}
 R^{23}
 R^{23}
 R^{21}

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 $R^{21} = R^{23} = R^{21} = R^{23} = R^{21} = R^{23} = R^{21} = R^{22} = R^{23} = R^{24} = R^{25} = R$

R²⁴
| R²⁶

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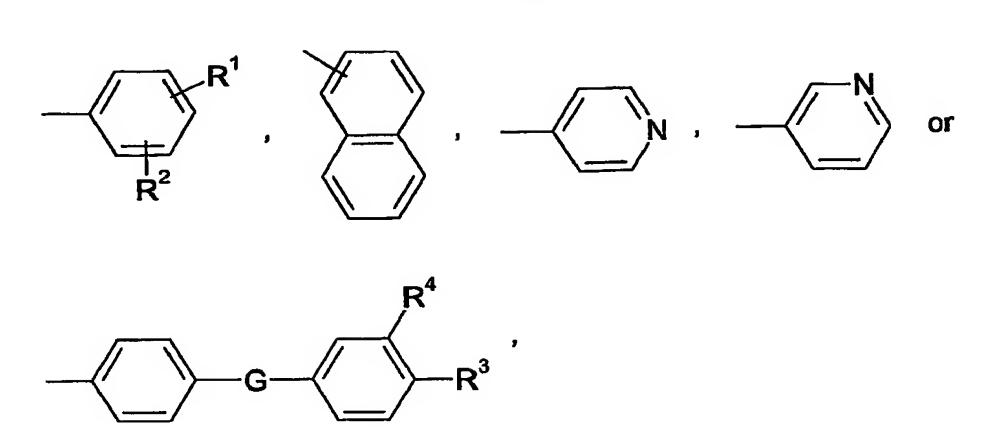
wherein R^{21} , R^{22} , R^{23} , R^{25} and R^{26} are independently of each other hydrogen, C_1 - C_8 alkyl, a hydroxyl group, a mercapto group, C_1 - C_8 alkoxy, C_1 - C_8 alkylhio, halogen, halo- C_1 - C_8 alkyl, a cyano group, an aldehyde group, a ketone group, a carboxyl group, an ester group, a carbamoyl group, an amino group, a nitro group, a silyl group or a siloxanyl group and R^{24} is a C_1 - C_8 alkyl group.

5. The process according to claim 4, wherein A¹ and A² are radicals of the formula

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wherein R^1 and R^2 are independently of each other hydrogen, chloro, bromo, C_1 - C_4 alkyl, C_1 - C_6 alkoxy, C_1 - C_6 alkylamino, phenyl or CN,

G is -O-, $-NR^7$ -, -N=N- or $-SO_{2^-}$,

R³ and R⁴ are hydrogen, and

R⁷ is hydrogen, methyl or ethyl.

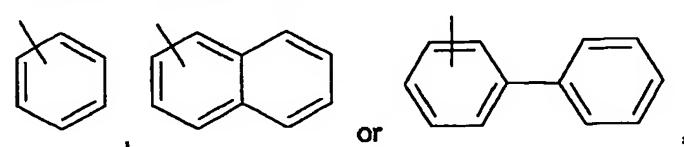
6. The process according to claim 4 or 5, wherein A³ is cyanomethyl, C₁-C₂alkyl such as methyl, ethyl, n-propyl, isopropyl, n-butyl, sec.-butyl, isobutyl, tert.-butyl, n-pentyl, 2-pentyl, 3-pentyl, 2,2-dimethylpropyl, n-hexyl, n-heptyl, n-octyl, 1,1,3,3-tetramethylbutyl and 2-ethylhexyl, Y-R³² wherein Y is -C(O)- and R³² is

—
$$R^{40}$$
 , wherein R^{40} is C_1 - C_4 alkyl, -O- C_1 - C_4 alkyl, or -S- C_1 - C_4 alkyl, or

-(CH₂)_m-Ar wherein m is 1 and Ar is a group of the formula

which can be substituted one to three times with C_1 - C_8 alkyl, C_1 - C_8 alkoxy, halogen or phenyl.

7. The process according to any of claims 4 to 6, wherein A⁴ is



which can be substituted one to three times with C_1 - C_8 alkyl, C_1 - C_8 alkoxy, halogen or phenyl.

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8. The process according to any of claims 1 to 7, wherein the starting compound of formula (II)

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is obtained by reacting a compound of formula (VIII) with an acyl halide A² –COX:

$$A^{3}$$
 A^{3}
 A^{4}
 A^{3}
 A^{3}
 A^{3}
 A^{4}
 A^{4

wherein R, A^1 and A^2 have the same meaning as given in claim 1, A^3 is aryl, and X is halogen, preferably chlorine.

9. The process according to claim 8, wherein the compound of formula (VIII) is obtained by reacting a compound of formula (IIb) with an amine A³-NH₂:

$$A_{0}^{1} CO_{2}R$$

$$A_{0}^{3} NH_{2}$$

$$A_{1}^{3} NH_{2}$$

$$A_{2}^{3} NH_{2}$$

$$A_{3}^{3} NH_{2}$$

$$A_{1}^{3} NH_{2}$$

$$A_{2}^{3} NH_{2}$$

$$A_{3}^{3} NH_{2}$$

$$A_{4}^{3} NH_{2}$$

$$A_{3}^{3} NH_{2}$$

$$A_{4}^{3} NH_{2}$$

$$A_{4}^{4} NH_{2}$$

$$A_{4}^{4}$$

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wherein R and A¹ have the same meaning as given in claim 1 and A³ is aryl

10. The process according to claim 8 or 9, wherein A^2 –COX is benzoyl chloride and A^3 -NH₂ is aniline.

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11. A process for the preparation of a DPP of general formula:

reacting a compound of formula (VIII) with a nitrile A²—CN, preferably benzonitril:

$$A^{3}$$
 A^{3}
 A^{3}
 A^{3}
 A^{3}
 A^{2}
 A^{3}
 A^{3}

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wherein A^1 , A^2 and A^3 have the meanings as given in claim 1.

12. A DPP of general formula (III)

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wherein A^1 , A^2 and A^3 have the meanings as given in claim 1.